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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK PERCH Examiner #: 59193 Date: 6/23/06
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 1053275300
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

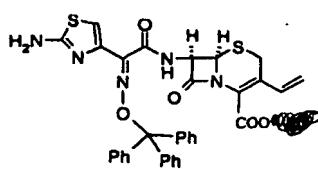
Inventors (please provide full names): _____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



L18
L27

STAFF USE ONLY

Searcher: _____

Type of Search

NA Sequence (#)

Vendors and cost where applicable

STN Dialog

Searcher Phone #: _____

AA Sequence (#)

Questel/Orbit Lexis/Nexis

Searcher Location: _____

Structure (#)

Westlaw WWW/Internet

Date Searcher Picked Up: _____

Bibliographic

In-house sequence systems

Date Completed: _____

Litigation

Commercial Oligomer Score/Length

Searcher Prep & Review Time: _____

Fulltext

Interference SPDI Encode/Transl

Online Time: _____

Other

Other (specify)

=> d his nofil

(FILE 'HOME' ENTERED AT 12:02:21 ON 27 JUN 2006)

FILE 'HCAPLUS' ENTERED AT 12:03:56 ON 27 JUN 2006
E US2005-532753/APPS

L1 1 SEA ABB=ON PLU=ON US2005-532753/AP
SEL RN

FILE 'REGISTRY' ENTERED AT 12:04:09 ON 27 JUN 2006

L2 28 SEA ABB=ON PLU=ON (108-93-0/B1 OR 109-99-9/B1 OR 121-44-8/B1
OR 127-19-5/B1 OR 128438-01-7/B1 OR 1310-58-3/B1 OR 213978-34-8
/B1 OR 3004-42-0/B1 OR 626-67-5/B1 OR 64-17-5/B1 OR 64-18-6/B1
OR 64-19-7/B1 OR 67-56-1/B1 OR 67-63-0/B1 OR 67-64-1/B1 OR
68641-49-6/B1 OR 696592-14-0/B1 OR 696592-17-3/B1 OR 696592-20-
8/B1 OR 7087-68-5/B1 OR 75-05-8/B1 OR 75-50-3/B1 OR 7647-01-0/B
I OR 7664-93-9/B1 OR 7732-18-5/B1 OR 78-93-3/B1 OR 79349-82-9/B
I OR 91832-40-5/B1)

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L3 1 SEA ABB=ON PLU=ON L1 AND L2
D IALL HITSTR

FILE 'REGISTRY' ENTERED AT 12:06:26 ON 27 JUN 2006
E CEFIDINIR/CN

L4 1 SEA ABB=ON PLU=ON CEFIDINIR/CN
D SCA
D

FILE 'REGISTRY' ENTERED AT 12:06:54 ON 27 JUN 2006
L5 STR 91832-40-5
L6 2 SEA FAM SAM L5
D SCAN
L7 39 SEA FAM FUL L5

FILE 'HCAPLUS' ENTERED AT 12:07:21 ON 27 JUN 2006
L8 464 SEA ABB=ON PLU=ON L7
L9 4 SEA ABB=ON PLU=ON L7(L)AMOR?
L10 1 SEA ABB=ON PLU=ON L9 AND L1
L11 4 SEA ABB=ON PLU=ON L8 AND AMORPH?
L12 4 SEA ABB=ON PLU=ON L9 OR L11
L13 36 SEA ABB=ON PLU=ON L8 AND ?CRYSTAL?
D KWIC
L14 0 SEA ABB=ON PLU=ON L8 AND (NONCrys? OR NON(W)CRYST?)
D SCA TI L13

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D L5
DIS
DIS
L15 STR L5
L16 1 SEA SSS SAM L15
L17 21 SEA SSS FUL L15

FILE 'HCAPLUS' ENTERED AT 12:14:01 ON 27 JUN 2006
L18 13 SEA ABB=ON PLU=ON L17
L19 0 SEA ABB=ON PLU=ON ?CEFIDININ? AND AMORPH?

FILE 'HCAPLUS' ENTERED AT 12:14:35 ON 27 JUN 2006

L20 0 SEA ABB=ON PLU=ON ?CEFDININ? AND AMORPH?
L21 4 SEA ABB=ON PLU=ON ?CEFDINIR? AND AMORPH?

INDEX 'ABI-INFORM, ADISCTI, AEROSPACE, AGRICOLA, ALUMINIUM, ANABSTR,
ANTE, APOLLIT, AQUALINE, AQUASCI, AQUIRE, BABS, BIBLIODATA, BIOENG,
BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CAOLD, CAPLUS, CASREACT,
CBNB, CEABA-VTB, CERAB, CHEMINFORMRX, CHEMSAFE, ...' ENTERED AT 12:15:46
ON 27 JUN 2006

SEA ?CEFDINIR? (5A) AMORPH?

0* FILE ADISCTI
0* FILE AGRICOLA
0* FILE ALUMINIUM
0* FILE APOLLIT
0* FILE AQUASCI
0* FILE AQUIRE
0* FILE BABS
0* FILE BIBLIODATA
0* FILE BIOTECHABS
0* FILE BIOTECHDS
4 FILE CAPLUS
1 FILE CASREACT
0* FILE CEABA-VTB
0* FILE CHEMINFORMRX
0* FILE CHEMSAFE
SEA ?CEFDINIR? (5A) (AMORPH? OR NONCRYST? OR NON(W)CRYST?)

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0* FILE ENCOMPAT

1 FILE EPFULL
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0* FILE FORIS
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0* FILE HEALSAFE
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3 FILE IFIPAT
0* FILE IMSDRUGNEWS
0* FILE INFODATA
0* FILE INIS
3 FILE INPADOC
0* FILE INSPHYS
2* FILE INVESTEXT
0* FILE IPA
0* FILE ITRD
0* FILE JICST-EPLUS
0* FILE LIFESCI
0* FILE MATBUS
0* FILE NLDB
0* FILE NUTRACEUT
0* FILE OCEAN
0* FILE PAPERCHEM2
9 FILE PCTFULL
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0* FILE PIRA
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0* FILE PROMT
0* FILE RSWB
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0* FILE TEXTILETECH
0* FILE TRIBO
0* FILE UFORDAT
0* FILE ULIDAT
8 FILE USPATFULL
0* FILE VETB
0* FILE VETU
3 FILE WPIDS
3 FILE WPINDEX
0* FILE WTEXTILES

L22 QUE ABB=ON PLU=ON ?CEFDINIR? (5A) (AMORPH? OR NONCRYST? OR
NON(W) CRYST?)

FILE 'HCAPLUS' ENTERED AT 12:19:03 ON 27 JUN 2006
D QUE L12

FILE 'PCTFULL, USPATFULL, CAPLUS, IFIPAT, INPADOC, WPIDS, INVESTEXT,
CASREACT, EPFULL' ENTERED AT 12:19:25 ON 27 JUN 2006
L23 34 SEA ABB=ON PLU=ON L22

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INVESTEXT, CASREACT, EPFULL' ENTERED AT 12:19:56 ON 27 JUN 2006
L24 19 DUP REM L12 L23 (19 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE HCAPLUS
ANSWERS '5-10' FROM FILE PCTFULL

Berch 10/532,753

06/27/2006

ANSWERS '11-17' FROM FILE USPATFULL
ANSWERS '18-19' FROM FILE INVESTTEXT
D L24 IBIB ABS KWIC 5-19

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D QUE L12

FILE 'HCAPLUS, PCTFULL, USPATFULL, INVESTTEXT' ENTERED AT 12:21:44 ON 27
JUN 2006
D L12 IBIB ABS HITIND HITSTR 1-4

FILE 'BEILSTEIN' ENTERED AT 12:28:14 ON 27 JUN 2006

L25 1 SEA SSS SAM L15
L26 4 SEA SSS FUL L15
L27 4 SEA ABB=ON PLU=ON L26 NOT L17
L28 3 SEA ABB=ON PLU=ON L26 AND BABSAN/FA
L29 1 SEA ABB=ON PLU=ON L27 NOT L28
SEL BABSAN L28

=> fil hcap
FILE 'HCAPLUS' ENTERED AT 12:30:12 ON 27 JUN 2006
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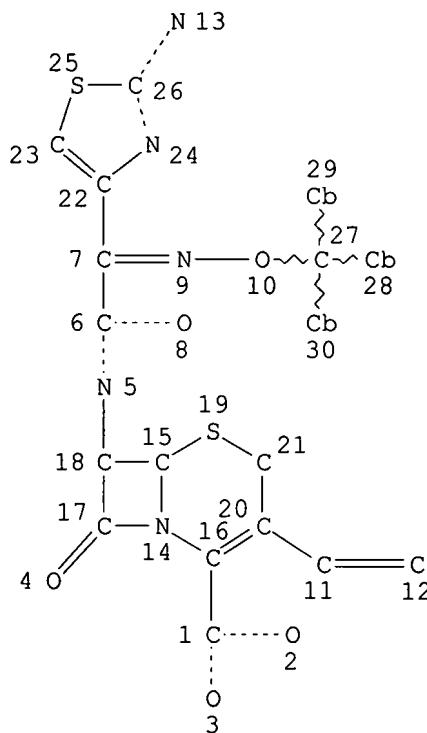
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FILE COVERS 1907 - 27 Jun 2006 VOL 145 ISS 1
FILE LAST UPDATED: 26 Jun 2006 (20060626/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 118
L15 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 30

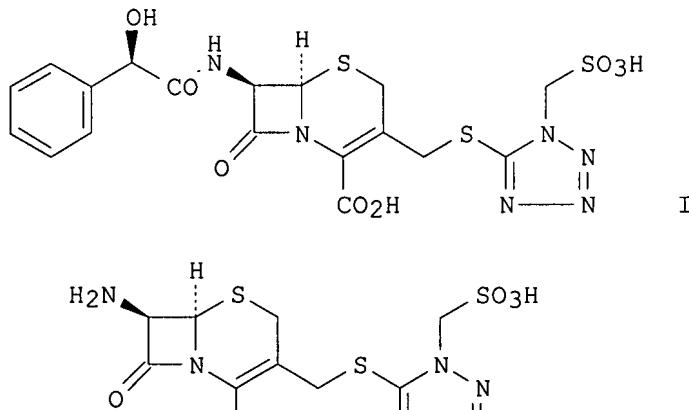
STEREO ATTRIBUTES: NONE

L17 21 SEA FILE=REGISTRY SSS FUL L15
L18 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L17

=> d 118 ibib abs hitstr 1-13

L18 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:54564 HCAPLUS
 DOCUMENT NUMBER: 144:128794
 TITLE: News salts in the preparation of cephalosporin antibiotics
 INVENTOR(S): Senthilkumar, Udayampalayam Palanisamy; Lakshmi pathi, Venu Sanjeevi; Andrew, Gnanaprakasam; Chandrasekaran, Ramasubbu; Nagender Rao, Dindigala; Om Reddy, Gaddam
 PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Limited, India
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006006040	A2	20060119	WO 2005-IB1888	20050704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			IN 2004-CH637	A 20040705
OTHER SOURCE(S):		MARPAT 144:128794		
GI				



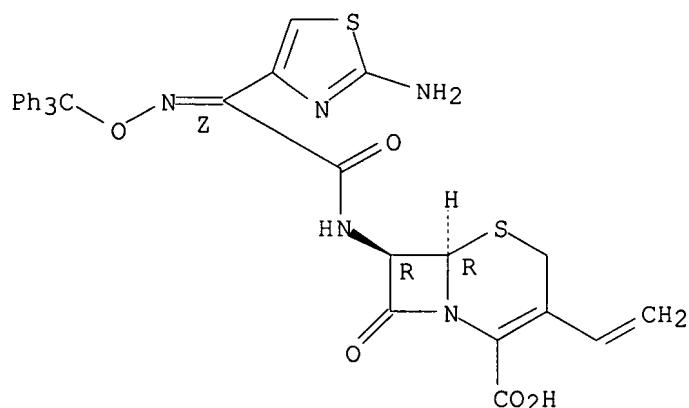
AB The present invention relates to an improved process for the preparation of cephalosporin antibiotics via the formation of intermediate diamine salts of the general form Cp.nM [Cp = cephalosporin antibiotic, such as Cefdinir, Cefoxitin, Cefonicid, etc.; M = ethylenediamine derivative, such as N,N'-diisobutyl-, N,N'-dicyclohexyl-, N,N'-diisopentyl-, N,N'-di(p-anisyl)-, N,N'-dicyclopentyl-, N,N'-di(p-tolyl)-1,2-ethanediamine; n = 0.5 - 2]. Thus, the N,N'-diisobutyl-1,2-ethanediamine salt of Cefonicid (I) was prep'd via a reaction of 7β-aminocephem II with O-formyl-D-mandeloyl chloride, adjustment of the reaction mixture to pH 5±1, and finally, addition of the diacetate salt of Me₂CHCH₂NH(CH₂)₂NHCH₂CHMe₂.

IT 696592-17-3 717098-27-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of intermediate salts for the preparation of cephalosporin antibiotics, such as Cefdinir)

RN 696592-17-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-

ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● K

RN 717098-27-6 HCPLUS

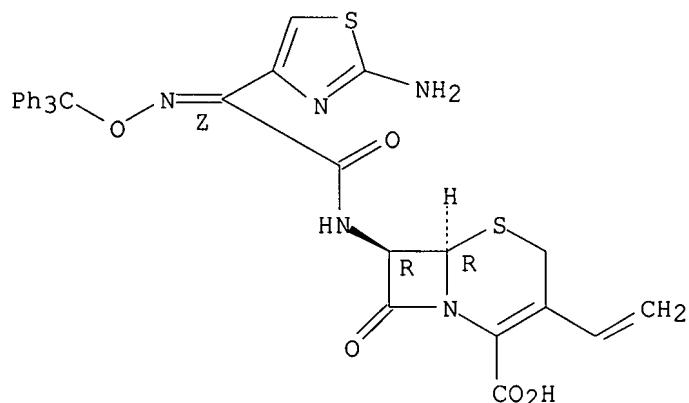
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-
ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 128454-32-0

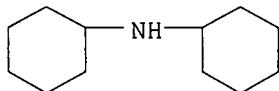
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 101-83-7
 CMF C12 H23 N

IT **873441-06-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of intermediate salts for the preparation of cephalosporin antibiotics, such as Cefdinir)

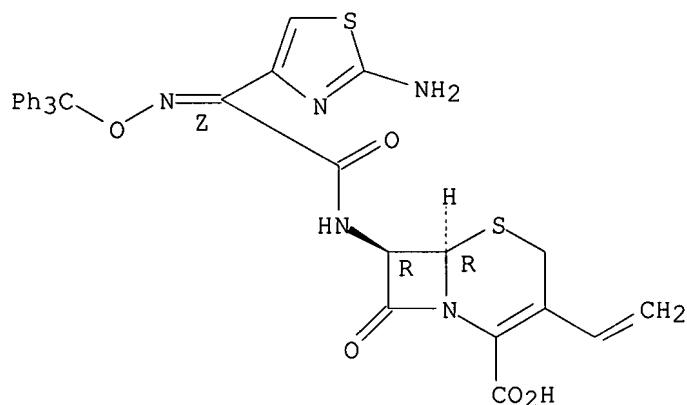
RN 873441-06-6 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[((2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl)amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with N,N'-dicyclohexyl-1,2-ethanediamine (9CI) (CA INDEX NAME)

CM 1

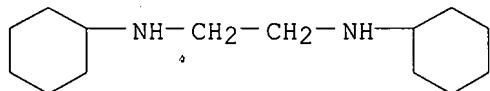
CRN 128454-32-0
 CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

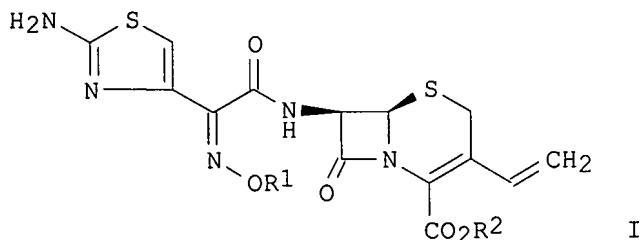
CRN 4013-98-3
 CMF C14 H28 N2



L18 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:546513 HCAPLUS
 DOCUMENT NUMBER: 141:88964
 TITLE: Process for preparing crystalline cefdinir salts
 INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
 PATENT ASSIGNEE(S): Antibioticos S.p.A., Italy
 SOURCE: PCT Int. Appl., 14 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056835	A1	20040708	WO 2003-EP13524	20031201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003293746	A1	20040714	AU 2003-293746	20031201
EP 1572699	A1	20050914	EP 2003-789109	20031201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511561	T2	20060406	JP 2004-561199	20031201
US 2006074236	A1	20060406	US 2005-539122	20050616
PRIORITY APPLN. INFO.:			IT 2002-MI2724	A 20021220
			WO 2003-EP13524	W 20031201

OTHER SOURCE(S): MARPAT 141:88964
 GI



AB Cefdinir salts, such as I.nH₃PO₄ [R1, R2 = H; n = 1 - 3 (II)], the hydrates and solvates thereof, were prepared from cefdinir intermediates, I (R1 = benzhydryl, trityl, p-methoxybenzyl; R2 = benzhydryl, tert-Bu, p-methoxybenzyl), or crude cefdinir I (R1, R2 = H) by the treatment with phosphoric acid. Thus, I (R1 = CPh₃, R2 = H) was dissolved in 85% phosphoric acid and acetonitrile, and reaction mixture was heated at 45°C for 2 h, to afford cefdinir phosphate. The use of II for the preparation and purification of cefdinir is also disclosed.

IT 128454-32-0 717098-27-6

RL: RCT (Reactant); RACT (Reactant or reagent)

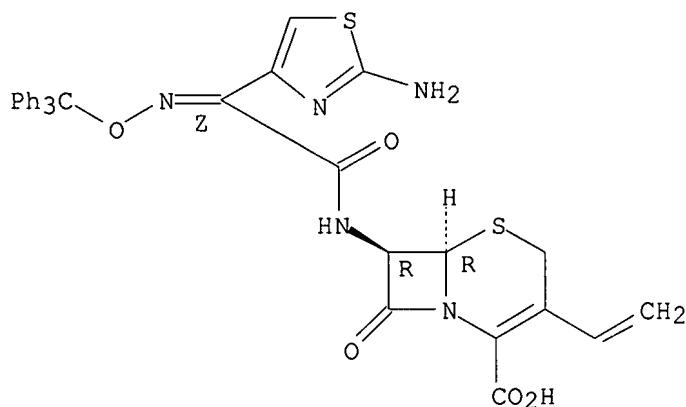
(preparation and use of cefdinir phosphates for preparing and purification
of
cefdinir)

RN 128454-32-0 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[((2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl)amino]-3-
ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RN 717098-27-6 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[((2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl)amino]-3-
ethenyl-8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1)
(9CI) (CA INDEX NAME)

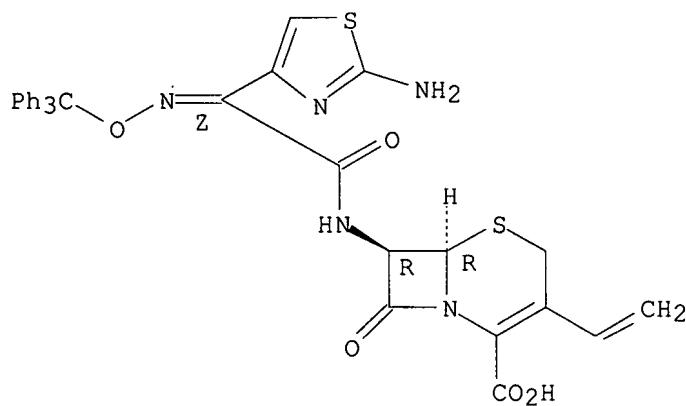
CM 1

CRN 128454-32-0

CMF C33 H27 N5 O5 S2

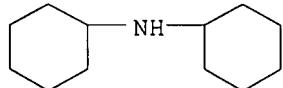
Absolute stereochemistry.

Double bond geometry as shown.



CM 2

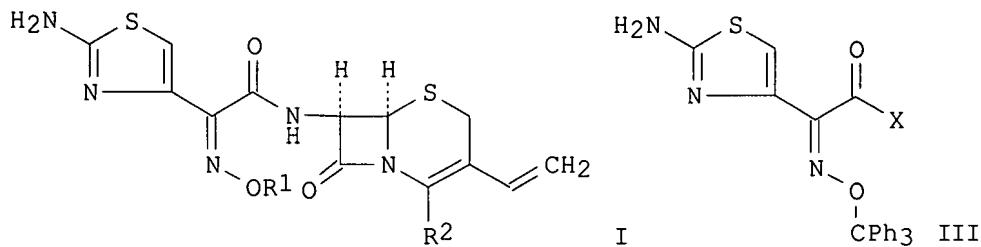
CRN 101-83-7
 CMF C12 H23 N



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:453223 HCAPLUS
 DOCUMENT NUMBER: 141:6966
 TITLE: Process for preparing cefdinir and its amorphous hydrate
 INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb
 Pandharinath; Ramasubbu, Chandrasekaran
 PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003276525	A1	20040615	AU 2003-276525	20031110
US 2006094703	A1	20060504	US 2005-532753	20050513
PRIORITY APPLN. INFO.:			IN 2002-MA848	A 20021115
			IN 2003-MA152	A 20030226
			WO 2003-IB5032	W 20031110
OTHER SOURCE(S): GI	CASREACT 141:6966; MARPAT 141:6966			



AB The present invention discloses a process for preparing cefdinir [I; R₁ = H; R₂ = CO₂H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R₁ = C(Ph)₃; R₂ = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercaptop-5-phenyl-1,3,4-oxadiazole yielded 2-mercaptop-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

IT 696592-17-3P

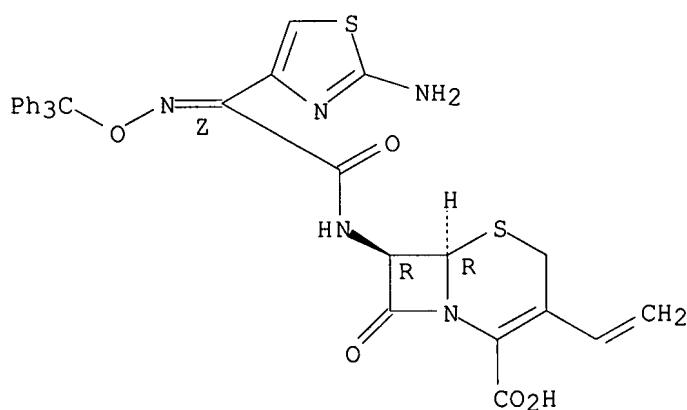
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate)

RN 696592-17-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-
ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



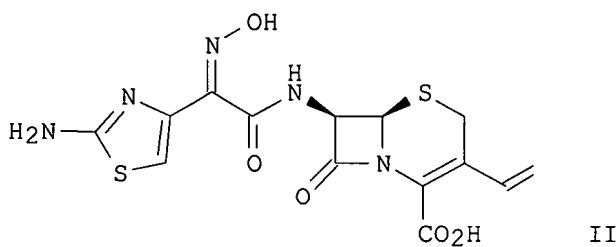
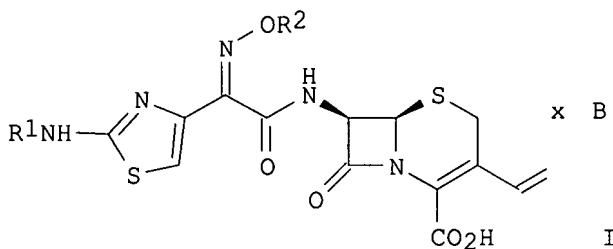
• K

L18 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:355098 HCAPLUS

DOCUMENT NUMBER: 140:375021
 TITLE: Intermediate cefdinir salts
 INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
 PATENT ASSIGNEE(S): Antibioticos S.P.A., Italy
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035800	A2	20040429	WO 2003-EP10718	20030926
WO 2004035800	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500791	AA	20040429	CA 2003-2500791	20030926
AU 2003293585	A1	20040504	AU 2003-293585	20030926
EP 1546155	A2	20050629	EP 2003-788921	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501305	T2	20060112	JP 2004-544046	20030926
US 2006111566	A1	20060525	US 2005-529649	20051011
PRIORITY APPLN. INFO.:			IT 2002-MI2076	A 20021001
			WO 2003-EP10718	W 20030926

OTHER SOURCE(S): MARPAT 140:375021
 GI



AB Disclosed are salts of the general formula (I) wherein R1 is H or an amino-protecting group, R2 is and OH-protecting group, and B is NH₃ or an organic base, and a process for the preparation thereof. These salts are useful intermediates for the preparation of cefdinir (II).

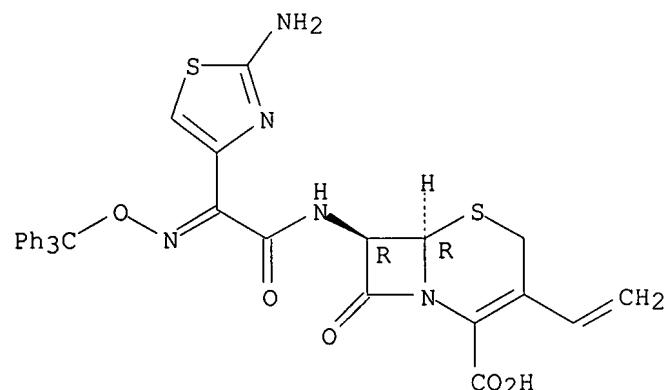
IT 682357-22-8P 682357-23-9P 683226-97-3P
 RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
 (intermediate cefdinir salts)

RN 682357-22-8 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-
 8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



RN 682357-23-9 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-
 8-oxo-, (6R,7R)-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

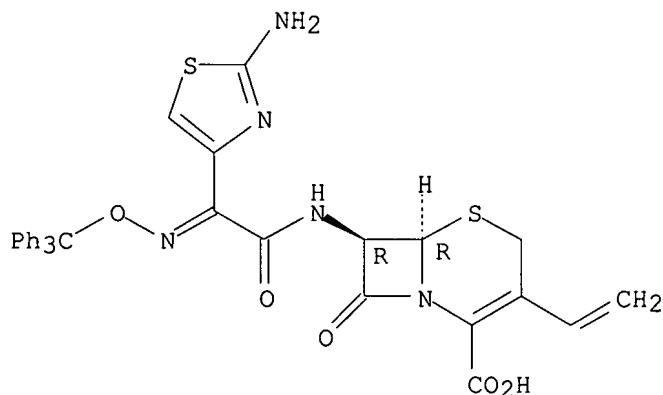
CM 1

CRN 682357-22-8

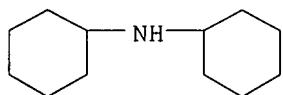
CMF C33 H27 N5 O5 S2

Absolute stereochemistry.

Double bond geometry unknown.



CM 2

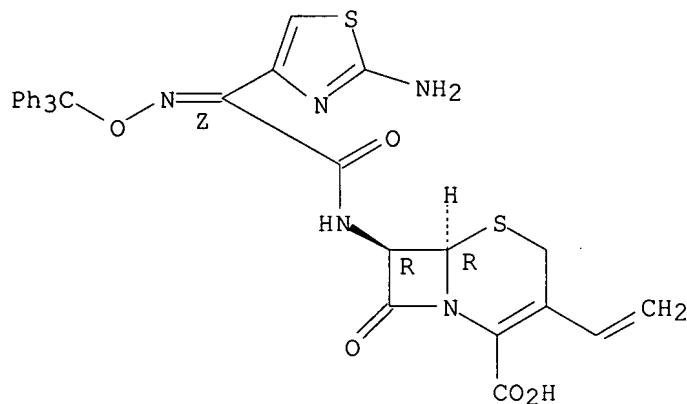
CRN 101-83-7
CMF C12 H23 N

RN 683226-97-3 HCPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2Z)-(2-amino-4-thiazolyl)imino]acetyl]amino]-3-
 ethenyl-8-oxo-, (6R,7R)-, compd. with (α R)- α -
 methylbenzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 128454-32-0
CMF C33 H27 N5 O5 S2

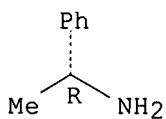
Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

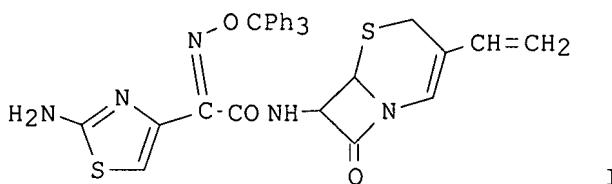
CRN 3886-69-9
CMF C8 H11 N

Absolute stereochemistry. Rotation (+).



L18 ANSWER 5 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:767504 HCPLUS
 DOCUMENT NUMBER: 135:303724
 TITLE: Preparation of 3-vinylcephem compound from protected compounds
 INVENTOR(S): Kameyama, Yutaka; Fukae, Kazuhiro
 PATENT ASSIGNEE(S): Ohtsuka Chemical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107
PRIORITY APPLN. INFO.:			JP 2000-111448	A 20000413
			WO 2001-JP3182	W 20010413
OTHER SOURCE(S): GI	CASREACT 135:303724; MARPAT 135:303724			



AB Cefdinir is prepared by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with

perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO₄ and HCO₂H at 30° for 1 h in CH₂Cl₂ to give 95% cefdinir.

IT 128454-32-0 193402-46-9 367267-69-4

RL: RCT (Reactant); RACT (Reactant or reagent)

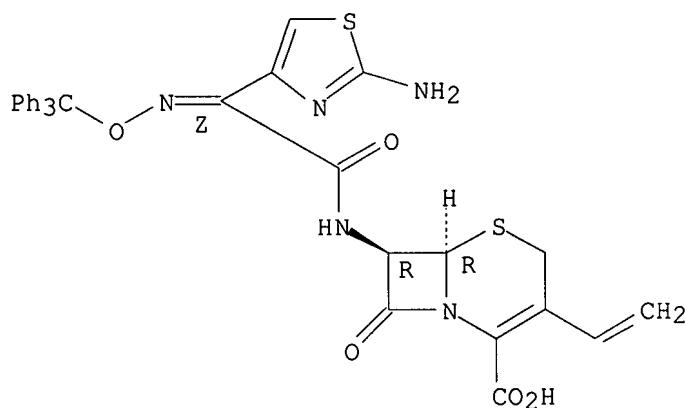
(preparation of 3-vinylcephem compound from protected compds.)

RN 128454-32-0 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



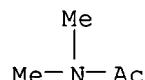
RN 193402-46-9 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(4-methylbenzenesulfonate),
compd. with N,N-dimethylacetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 127-19-5

CMF C4 H9 N O



CM 2

CRN 193402-45-8

CMF C33 H27 N5 O5 S2 . C7 H8 O3 S

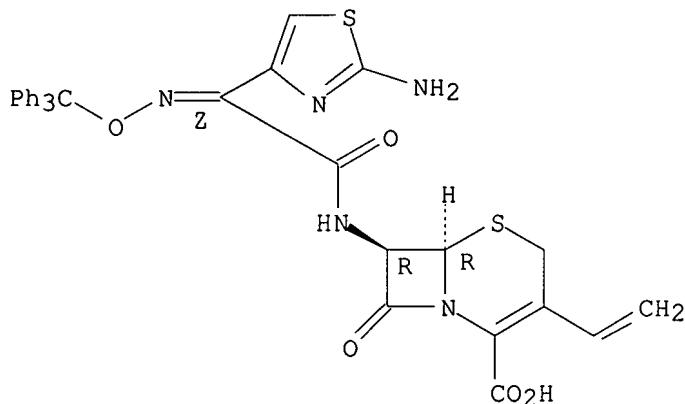
CM 3

CRN 128454-32-0

CMF C33 H27 N5 O5 S2

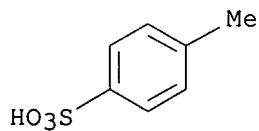
Absolute stereochemistry.

Double bond geometry as shown.



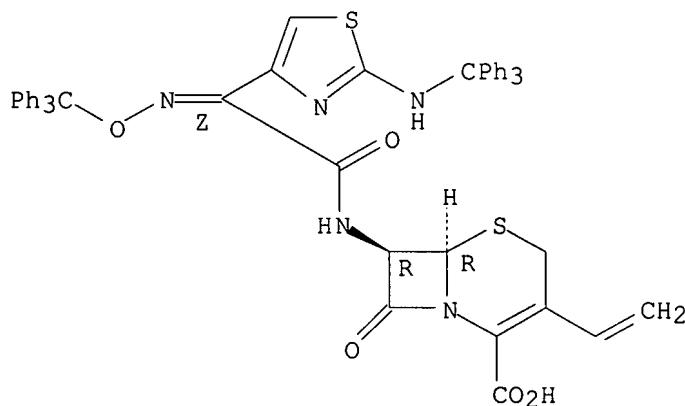
CM 4

CRN 104-15-4
CMF C7 H8 O3 S



RN 367267-69-4 HCPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-ethenyl-8-oxo-7-[(2Z)-[(triphenylmethoxy)imino][2-
[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-, (6R,7R)- (9CI) (CA
INDEX NAME)

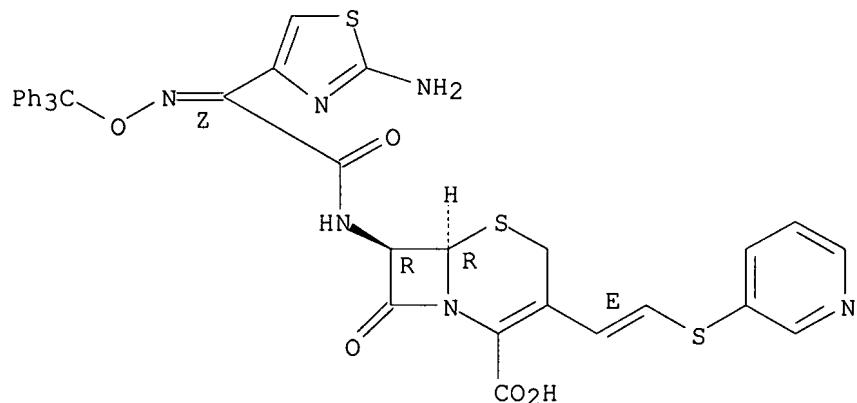
Absolute stereochemistry.
Double bond geometry as shown.



ACCESSION NUMBER: 2000:395944 HCAPLUS
 DOCUMENT NUMBER: 133:171782
 TITLE: Orally active cephalosporins. Part 2: Synthesis,
 structure-activity relationships and oral absorption
 of cephalosporins having a C-3 pyridyl side chain
 AUTHOR(S): Yamamoto, H.; Terasawa, T.; Nakamura, A.; Kawabata,
 K.; Sakane, K.; Matsumoto, S.; Matsumoto, Y.; Tawara,
 S.
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa
 Pharmaceutical Co., Ltd, Osaka, 532-8514, Japan
 SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(5),
 1159-1170
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of 7β -[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]cephalosporins having a pyridine ring connected through various spacer moieties at the C-3 position was designed and synthesized and evaluated for antibacterial activity and oral absorption in rats. All compds. showed potent antibacterial activity against *Staphylococcus aureus*, whereas antibacterial activity against Gram-neg. bacteria was markedly influenced by the spacer moiety between the pyridine and cephem nucleus. Oral absorption was influenced by the position of the pyridine nitrogen as well as by the spacer moiety. Among these compds., FR86830, having a 4-pyridylmethylthio moiety at the C-3 position, showed the most well balanced activity and moderate oral absorption.

IT 288379-65-7P 288380-03-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and structure-antibacterial activity relationships and oral absorption of cephalosporins having a C-3 pyridyl side chain)
 RN 288379-65-7 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[(1E)-2-(3-pyridinylthio)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

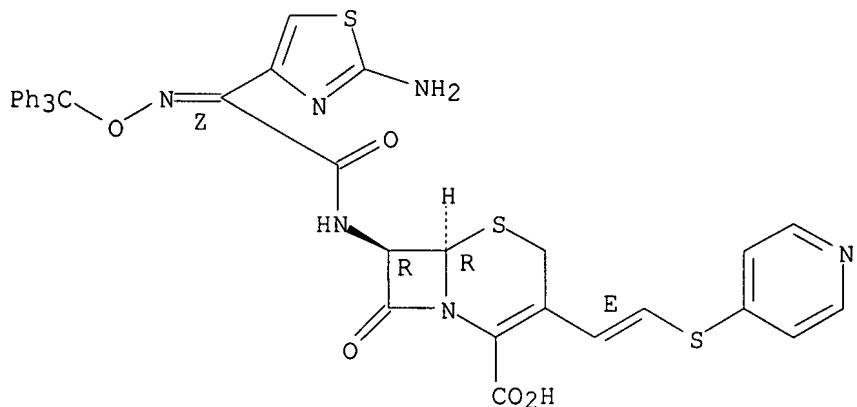
Absolute stereochemistry.
 Double bond geometry as shown.



RN 288380-03-0 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-

3-[(1E)-2-(4-pyridinylthio)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:125852 HCAPLUS

DOCUMENT NUMBER: 132:236906

TITLE: Orally active cephalosporins: synthesis, structure-activity relationships and oral absorption of 3-[(E) and (Z)-2-substituted vinyl]-cephalosporins

Yamamoto, Hiroyuki; Terasawa, Takeshi; Ohki, Ayako; Shirai, Fumiuki; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Matsumoto, Yoshimi; Tawara, Shuichi

Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd., Osaka, 532-8514, India

Bioorganic & Medicinal Chemistry (2000), 8(1), 43-54 CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 7β -[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(E)- and (Z)-2-substituted vinyl]-3-cephem-4-carboxylic acids was designed and synthesized using palladium-catalyzed coupling reactions of a 3-methanesulfonyloxy-3-cephem and an E substituted vinyl stannane or Wittig reaction of a 3-triphenylphosphoniummethyl cephem and an aldehyde as a key step. These compds. were evaluated for in vitro antibacterial activity and oral absorption in rats. A number of them exhibited excellent antibacterial activity against both Gram-pos. and Gram-neg. bacteria including *Haemophilus influenzae*. Among them, FR86524, having a (Z)-2-(3-pyridyl)vinyl moiety at the C-3 position, had the most well balanced activity. Although FR86524 exhibited low oral absorption, the pivaloyloxyethyl ester of FR86524 showed improved oral absorption.

IT 159296-68-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

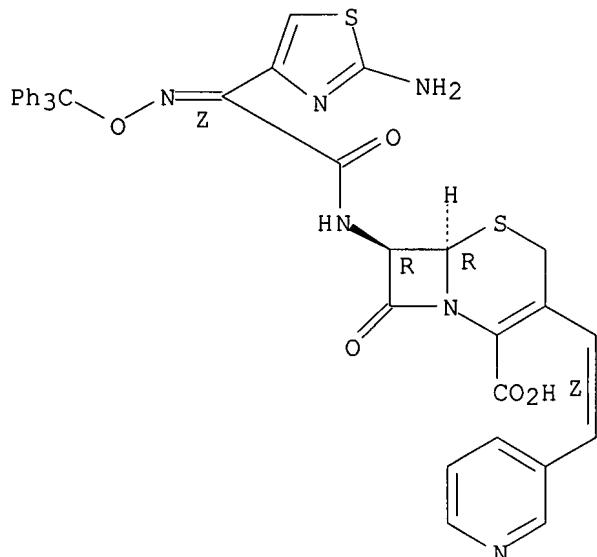
(synthesis, structure-activity relationships and oral absorption of 3-[(E) and (Z)-2-substituted vinyl]-cephalosporins)

RN 159296-68-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-
3-[(1Z)-2-(3-pyridinyl)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



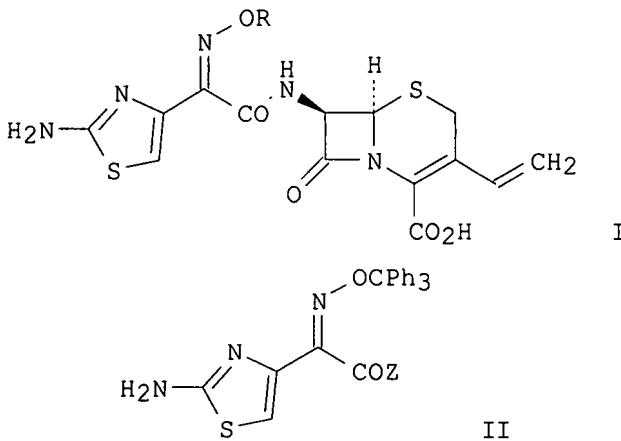
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:547291 HCPLUS
 DOCUMENT NUMBER: 127:149040
 TITLE: Process for preparation of cefdinir
 INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
 PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724358	A1	19970710	WO 1996-KR250	19961226
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 174432	B1	19990218	KR 1995-58694	19951227
KR 174431	B1	19990218	KR 1995-58695	19951227
EP 874853	A1	19981104	EP 1996-943357	19961226
EP 874853	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000502700	T2	20000307	JP 1997-524230	19961226
AT 218572	E	20020615	AT 1996-943357	19961226

PT 874853	T 20020930	PT 1996-943357	19961226
ES 2175167	T3 20021116	ES 1996-943357	19961226
US 6093814	A 20000725	US 1998-68719	19980518
PRIORITY APPLN. INFO.:			
		KR 1995-58694	A 19951227
		KR 1995-58695	A 19951227
		WO 1996-KR250	W 19961226

OTHER SOURCE(S): CASREACT 127:149040; MARPAT 127:149040
GI



AB Cefdinir I ($R = H$), a cephalosporin antibiotic, was prepared in an excellent color and purity and with a good yield. Cefdinir was prepared by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II ($Z = 2\text{-benzothiazolylthio}$) and crystallization of the resulting ester with $4\text{-MeC}_6\text{H}_4\text{SO}_3\text{H}$ and Me_2NCOMe to form crystals of I ($R = \text{CPh}_3$). $4\text{-MeC}_6\text{H}_4\text{SO}_3\text{H} \cdot 2\text{Me}_2\text{NCOMe}$, which were then converted to cefdinir with the use of formic acid. Formation of the cefdinir amide linkage was also accomplished starting from phosphoryl ester II [$Z = \text{OP(O)(OEt)}_2$].

IT 193402-46-9P
RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for preparation of cefdinir)

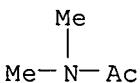
RN 193402-46-9 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-
8-oxo-, [6R-[6 α ,7 β (Z)]]-, mono(4-methylbenzenesulfonate),
compd. with N,N-dimethylacetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 127-19-5

CMF C4 H9 N O



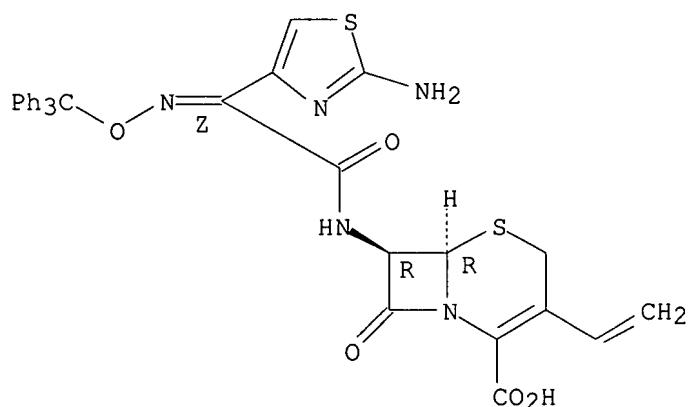
CM 2

CRN 193402-45-8
 CMF C33 H27 N5 O5 S2 . C7 H8 O3 S

CM 3

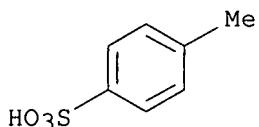
CRN 128454-32-0
 CMF C33 H27 N5 O5 S2

Absolute stereochemistry.
 Double bond geometry as shown.



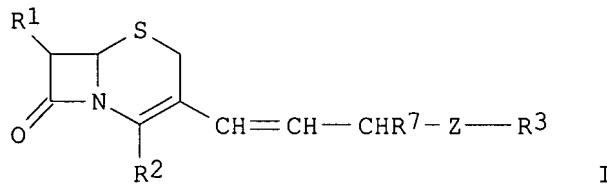
CM 4

CRN 104-15-4
 CMF C7 H8 O3 S



L18 ANSWER 9 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1995:573901 HCPLUS
 DOCUMENT NUMBER: 122:314356
 TITLE: Preparation of cephem derivatives and their
 antibacterial activity
 INVENTOR(S): Terasawa, Takeshi; Nakamura, Ayako; Kawabata, Koji;
 Sakane, Kazuo
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07048382	A2	19950221	JP 1993-191164 JP 1993-191164	19930802 19930802
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): GI			CASREACT 122:314356; MARPAT 122:314356	



AB The title compds. [I; R = amino, acylamino; R2 = carboxy, protected carboxy; R3 = H, OH, aryl, heterocyclyl, acyl, acylalkyl; R7 = H, alkyl; Z = O, S, NH] are prepared Thus, 7β -(tert-butoxycarbonylamino)-3-(triphenylphosphoniumethyl)-3-cephem-4-carboxylic acid diphenylmethyl ester iodide in $\text{CH}_2\text{Cl}_2\text{-H}_2\text{O}$ containing NaCl and NaOH was stirred at room temperature

for 1 h and the product was reacted with 2-phenoxyacetaldehyde at room temperature overnight to give the title compound 7β -(tert-butoxycarbonylamino)-3-[(Z)-3-phenoxy-1-propen-1-yl]-3-cephem-4-carboxylic acid diphenylmethyl ester. Syn- 7β -[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(Z)-3-phenoxy-1-propen-1-yl]-3-cephem-4-carboxylic acid (also prepared) had an MIC of $\leq 0.025 \mu\text{g/mL}$ against Escherichia coli.

IT 163348-07-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

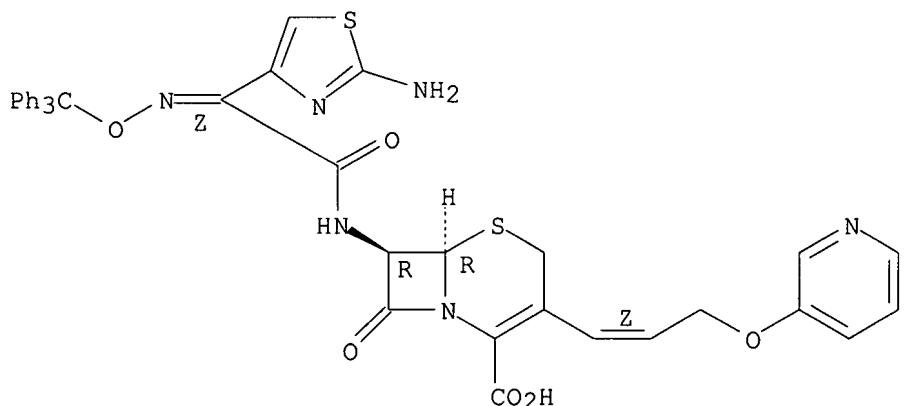
(preparation of cephem derivs. and antibacterial activity)

RN 163348-07-0 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[2-amino-4-thiazolyl][(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[3-(3-pyridinyloxy)-1-propenyl]-, [6R-[3(Z),6a,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L18 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:16728 HCAPLUS

DOCUMENT NUMBER: 122:31197

TITLE: Heterocyclic cepham antibiotics

INVENTOR(S): Kawabata, Kohji; Terasawa, Takeshi; Nakamura, Ayako; Nakamura, Hideko; Shirai, Fumiuki; Sakane, Kazuo

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

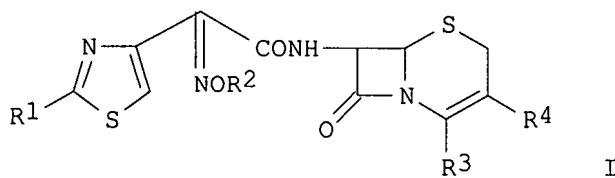
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410177	A1	19940511	WO 1993-JP1505	19931019
W: AU, CA, HU, JP, KR, RU, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9307650	A	19940504	ZA 1993-7650	19931014
CA 2147609	AA	19940511	CA 1993-2147609	19931019
AU 9351575	A1	19940524	AU 1993-51575	19931019
EP 665847	A1	19950809	EP 1993-922658	19931019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08502513	T2	19960319	JP 1994-510881	19931019
CN 1144222	A	19970305	CN 1993-120376	19931022
PRIORITY APPLN. INFO.:				
		GB 1992-22291	A 19921023	
		GB 1993-14495	A 19930712	
		JP 1993-67892	A 19930326	
		JP 1993-72695	A 19930331	
		WO 1993-JP1505	W 19931019	

OTHER SOURCE(S): MARPAT 122:31197

GI



AB The title compds. [I; R1 = (un)protected amino; R2 = H, OH-protective group, lower alkyl, etc.; R3 = CO₂H, protected CO₂H; R4 = (un)substituted pyridiylvinyl], which exhibit high antibiotic activity against a number of pathogenic microorganisms, are prepared. Thus, 7 β -[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[*(E*)-2-(pyridin-4-yl)vinyl]-3-cephem-4-carboxylic acid (*syn* isomer) demonstrated min. inhibitory concentration against *E. coli* (31) of \leq 0.025 μ g/mL.

IT 159295-56-4P 159295-58-6P 159295-59-7P

159295-60-0P 159296-68-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

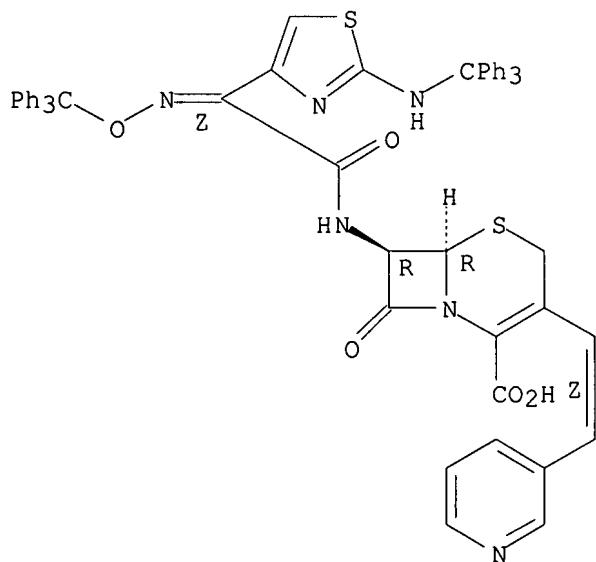
(preparation and antibiotic activity of)

RN 159295-56-4 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 8-oxo-3-[2-(3-pyridinyl)ethenyl]-7-[[[(triphenylmethoxy)imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-, [6R-[3(Z),6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

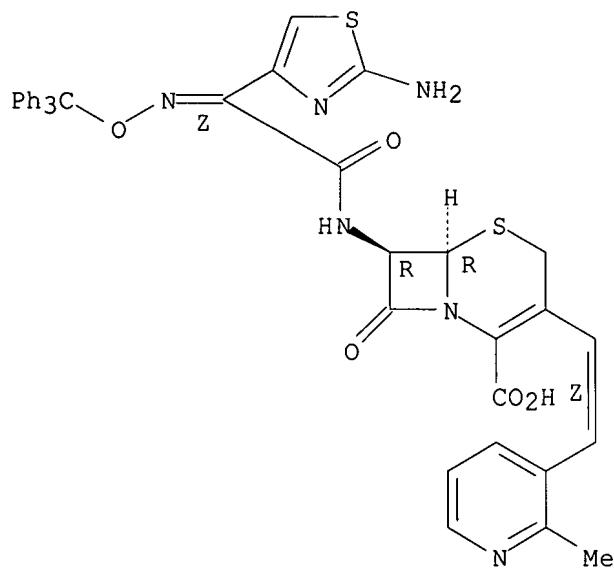
Double bond geometry as shown.



RN 159295-58-6 HCPLUS

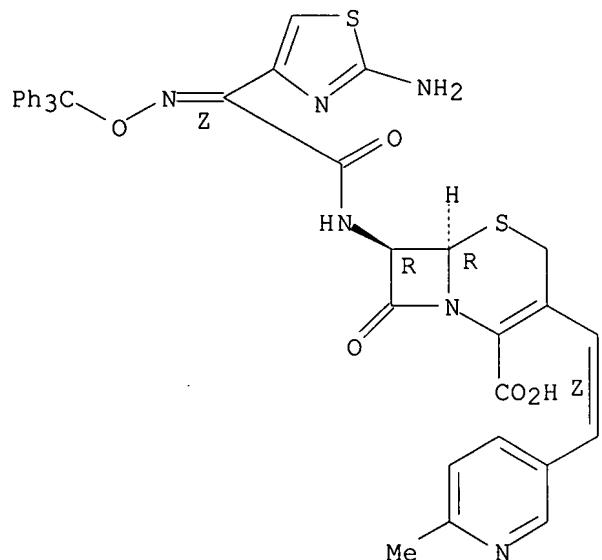
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-amino-4-thiazolyl][(triphenylmethoxy)imino]acetyl]amino]-3-[2-(2-methyl-3-pyridinyl)ethenyl]-8-oxo-, [6R-[3(Z),6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 159295-59-7 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-[2-(6-methyl-3-pyridinyl)ethenyl]-8-oxo-, [6R-{3(Z), 6α, 7β(Z)}]- (9CI)
 (CA INDEX NAME)

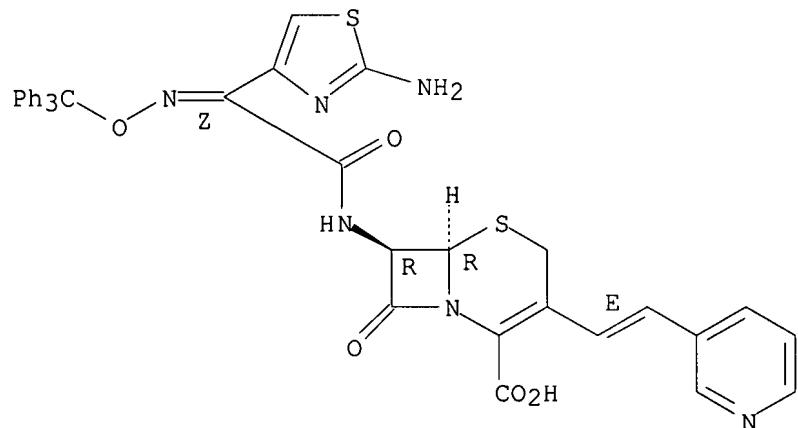
Absolute stereochemistry.
Double bond geometry as shown.



RN 159295-60-0 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[2-

(3-pyridinyl)ethenyl]-, [6R-[3(E), 6 α , 7 β (Z)]]- (9CI) (CA INDEX NAME)

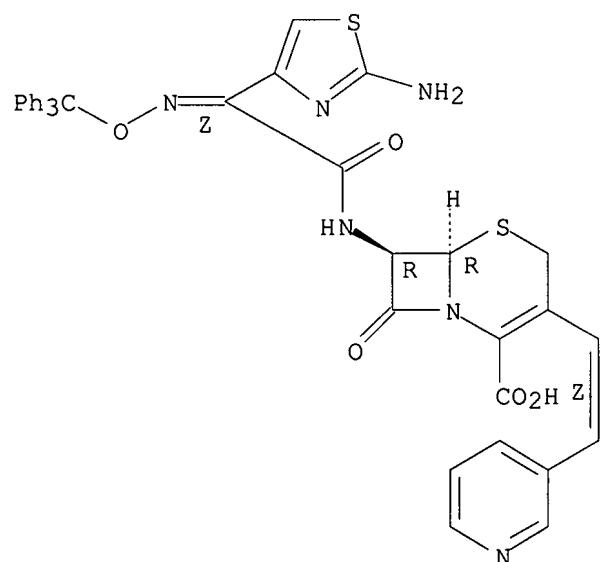
Absolute stereochemistry.
Double bond geometry as shown.



RN 159296-68-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-
3-[(1Z)-2-(3-pyridinyl)ethenyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L18 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

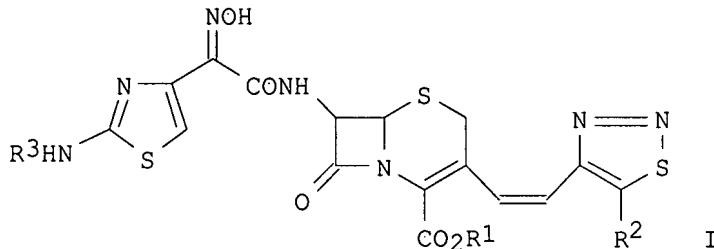
ACCESSION NUMBER: 1994:630580 HCAPLUS

DOCUMENT NUMBER: 121:230580

TITLE: Preparation of cephalosporin derivatives as
bactericides for oral administration

INVENTOR(S): Kobori, Takeo; Shinagawa, Rumi; Fujita, Mikako; Hyama, Tamejiro; Nagate, Takatoshi
 PATENT ASSIGNEE(S): Sagami Chem Res, Japan; Taisho Pharma Co Ltd
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06073064	A2	19940315	JP 1992-231876	19920831
PRIORITY APPLN. INFO.:			JP 1992-231876	19920831
OTHER SOURCE(S): MARPAT 121:230580				
GI				



AB The title compds. [I; R1 = ester-forming group which can be easily cleaved by esterase ; R2 = H, alkyl ; R3 = H, alanine residue] are prepared [(Z)(Z)]-I [R1 = 1-(cyclohexyloxycarbonyloxy)ethyl; R2 = R3 = H] (preparation given) at 20 mg/Kg orally gave maximum blood concentration of 32.1 µg/mL in mice, vs. 2.4 µg/mL for [(Z)(Z)]-I (R1 = R2 = R3 = H).

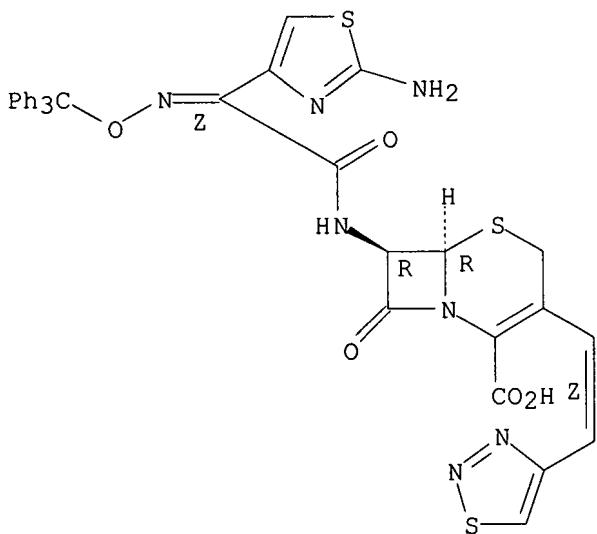
IT **158295-50-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of bactericide)

RN 158295-50-2 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-[2-(1,2,3-thiadiazol-4-yl)ethenyl]-, [6R-[3(Z),6α,7β(Z)]]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L18 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:101442 HCAPLUS

DOCUMENT NUMBER: 114:101442

TITLE: Improved synthesis of an ester-type prodrug,
1-acetoxyethyl 7-[(Z) -2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-[(Z) -1-propenyl]-3-cephem-4-carboxylate (EMY-28271)AUTHOR(S): Kamachi, Hajime; Okita, Takaaki; Okuyama, Satsuki;
Hoshi, Hideaki; Naito, Takayuki

CORPORATE SOURCE: Bristol-Myers Squibb Res. Inst., Tokyo, 153, Japan

SOURCE: Journal of Antibiotics (1990), 43(12), 1564-72

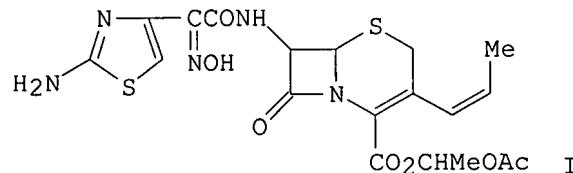
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:101442

GI



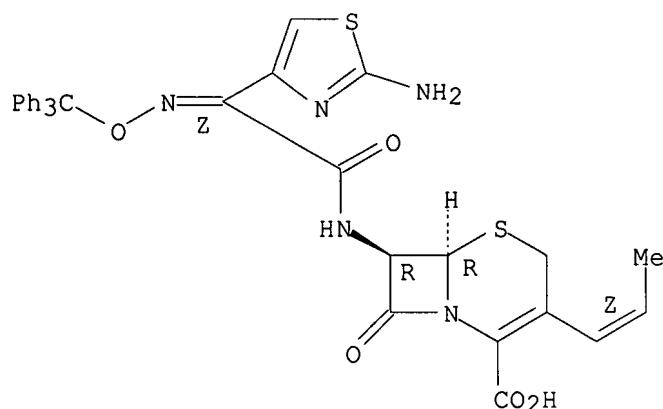
AB The yield of the title compound (I) was improved by esterification of 7-[(Z) -2-(2-aminothiazol-4-yl)-2-trityloxyminoacetamido]cephem-4-carboxylic acid followed by removal of the trityl group. In addition, column chromatog. purification at each step was eliminated by optimization of the reaction conditions.

IT 128438-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 128438-06-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl][(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[3(Z), 6 α , 7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L18 ANSWER 13 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:531866 HCPLUS

DOCUMENT NUMBER: 113:131866

TITLE: Preparation of 7-[2-aminothiazolyl-2-(hydroximino)acetamido]cephemcarboxylate prodrug esters

INVENTOR(S): Kamachi, Hajime; Okita, Takaaki; Okuyama, Satsuki;
 Naito, Takayuki

PATENT ASSIGNEE(S): Bristol-Myers Co., USA

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

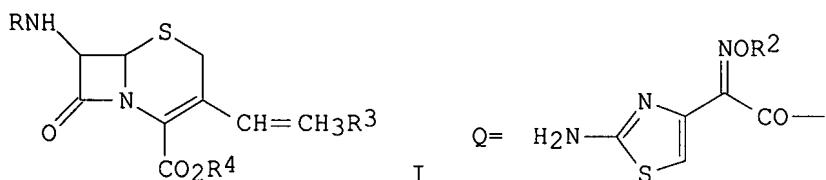
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 355821	A2	19900228	EP 1989-115590	19890823
EP 355821	A3	19911023		
R: AT, BE, CH, US 4935508	DE, ES, FR, GB, GR, IT, LI, LU, NL, SE A	19900619	US 1988-235133	19880823
JP 02073090	A2	19900313	JP 1989-215140	19890823
JP 07091304	B4	19951004		
JP 07179445	A2	19950718	JP 1994-290292 US 1988-235133	19941019 A 19880823
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):	CASREACT 113:131866; MARPAT 113:131866			
GI				



AB The title compds. (I; R = aminothiazolyloximinoacetyl group Q; R2 = H; R3 = H, Me; R4 = metabolically labile ester group) were prepared as prodrugs (no data) by esterification of I (R = Q, R2 = O-protective group, R4 = H) followed by deprotection. Thus, QOR1 (R1 = benzotriazol-1-yl, R2 = CPh3) (preparation given) was added to a solution of (Z)-I (R = R4 = H, R3 = Me) in

THF which had stirred with Me3SiCl and Et3N and the whole stirred overnight to give (Z)-I (R = Q, R2 = CPh3, R3 = Me, R4 = H) which was stirred 65 min at apprx.5° with BrCHMeOAc in DMF containing K2CO3 to give, after deprotection, (Z)-I (R = Q, R2 = H, R3 = Me, R4 = CHMeOAc) (51% yield for the deprotection step).

IT 128438-06-2P 128438-07-3P 128454-32-0P

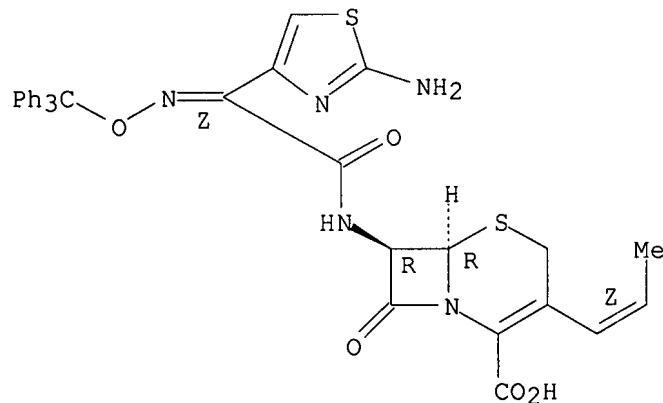
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antibiotic prodrugs)

RN 128438-06-2 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

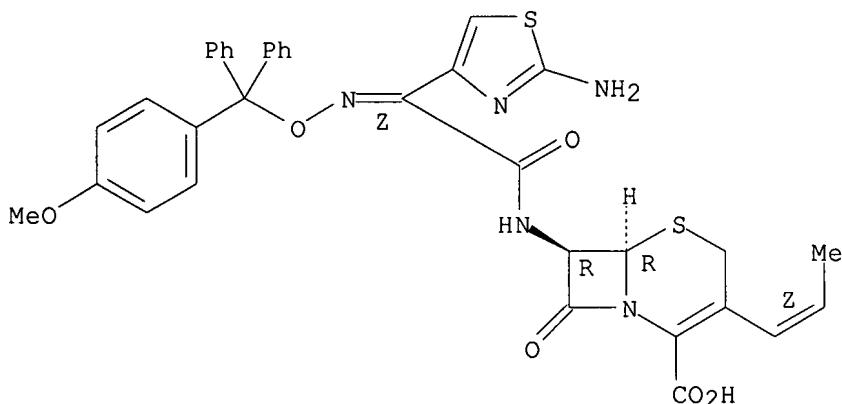


RN 128438-07-3 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)[(4-methoxyphenyl)diphenylmethoxy]imino]acetyl]amino]-8-oxo-3-(1-propenyl)-, [6R-[3(Z),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

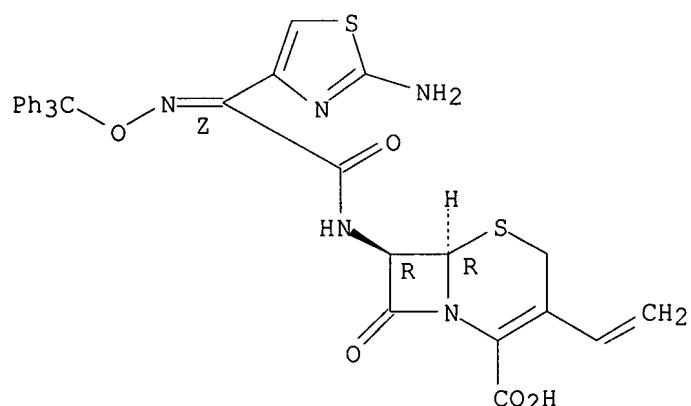


RN 128454-32-0 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-
ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



=> fil beilstein

FILE 'BEILSTEIN' ENTERED AT 12:30:34 ON 27 JUN 2006

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FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,606,495 SUBSTANCES ***

>>> PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally

with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

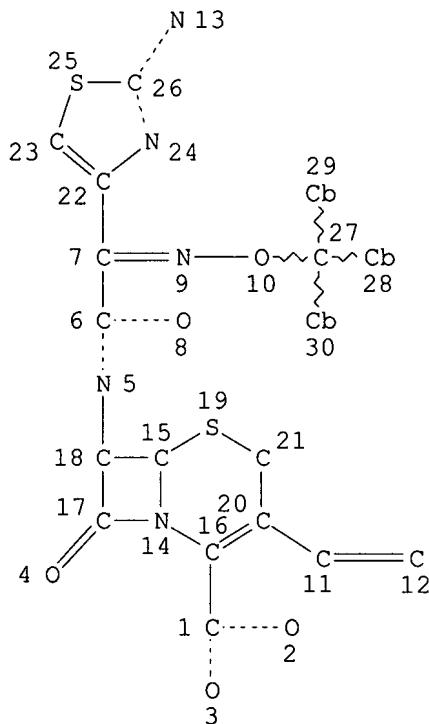
>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

 * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
 * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
 * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
 * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
 * FOR PRICE INFORMATION SEE HELP COST *

NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
 SEARCHED, SELECTED AND TRANSFERRED.
 * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
 ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
 COMPOUND AT A GLANCE.

=> d que 127
 L15 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 30

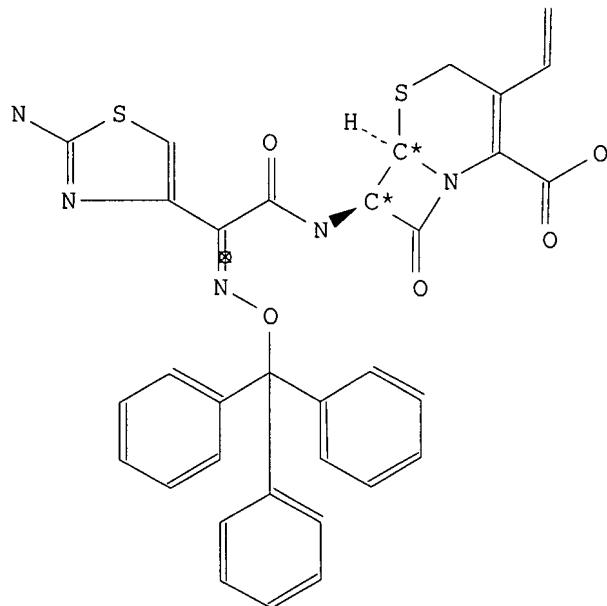
STEREO ATTRIBUTES: NONE

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 L26 4 SEA FILE=BEILSTEIN SSS FUL L15
 L27 4 SEA FILE=BEILSTEIN ABB=ON PLU=ON L26 NOT L17

=> d 127 ide allref 1-4

L27 ANSWER 1 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9459835
Chemical Name (CN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-vinyl-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Autonom Name (AUN):	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylamino>-8-oxo-3-vinyl-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Molec. Formula (MF):	C33 H27 N5 O5 S2
Molecular Weight (MW):	637.73
Lawson Number (LN):	31717, 31714, 5652
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	7981906
Tautomer ID (TAUTID):	8877659
Entry Date (DED):	2003/10/23
Update Date (DUPD):	2003/10/23



Field Availability:

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CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

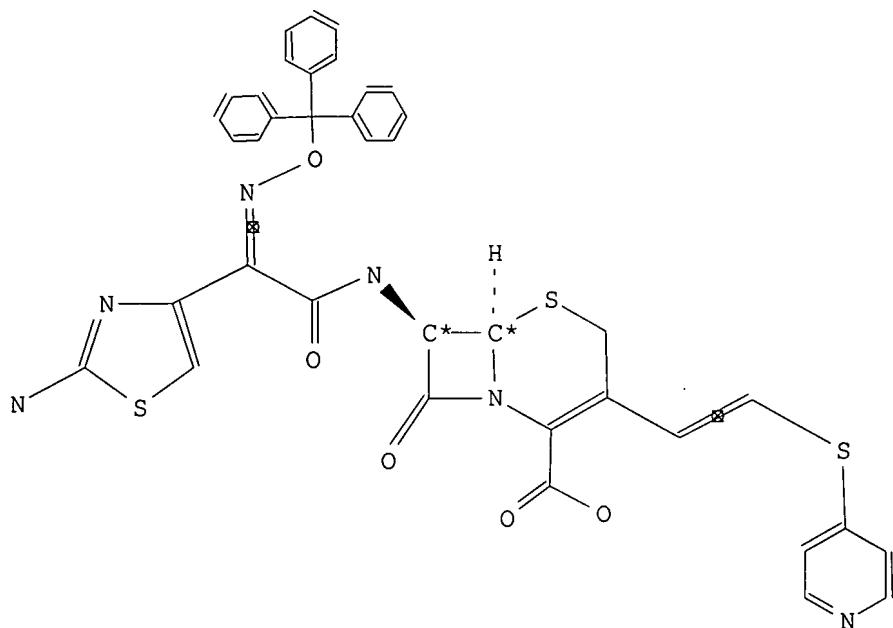
All References:

ALLREF

1. Gonzalez, Maritza; Rodriguez, Zalua; Tolon, Blanca; Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara; Lopez, Miguel A.; Fini, Adamo, Farmaco, CODEN: FRMCE8, 58(6), <2003>, 409 - 418; BABS-6404670

L27 ANSWER 2 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN) :	8667899
Chemical Name (CN) :	7β-<2-(Z)-<(2-aminothiazol-4-yl)-2-(trityloxyimino)acetamido>-3-<(E)-2-(4-pyridyl)thiovinylic acid
Autonom Name (AUN) :	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylaminocarboxylic acid
Molec. Formula (MF) :	C38 H30 N6 O5 S3
Molecular Weight (MW) :	746.87
Lawson Number (LN) :	31717, 24773, 5652
File Segment (FS) :	Stereo compound
Compound Type (CTYPE) :	heterocyclic
Constitution ID (CONSID) :	7342312
Tautomer ID (TAUTID) :	8157313
Entry Date (DED) :	2001/01/30
Update Date (DUPD) :	2001/01/30



Field Availability:

Code	Name	Occurrence
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CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

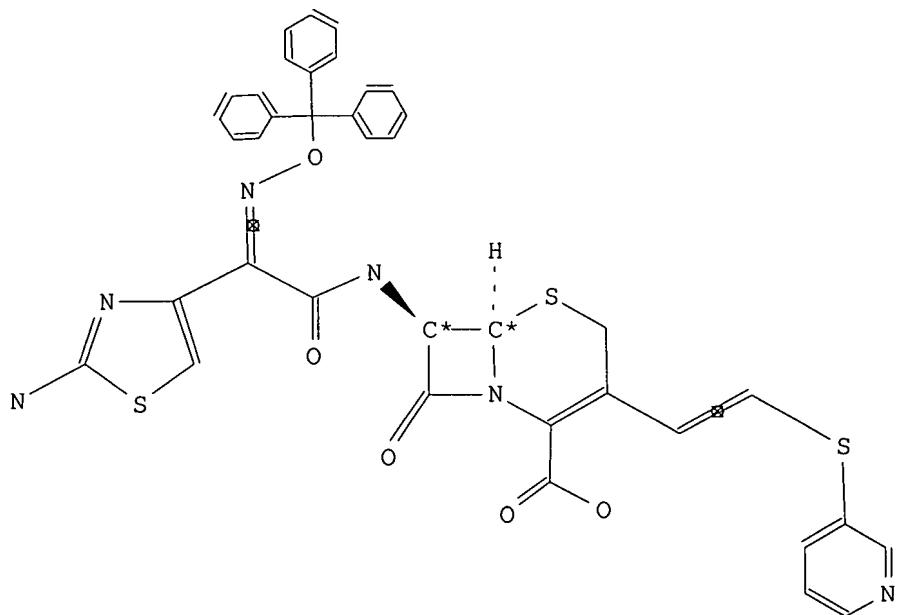
All References:

ALLREF

- Yamamoto, Hirofumi; Terasawa, Takeshi; Nakamura, Ayako; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Tawara, Shuichi, Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 1159 - 1170; BABS-6248669

L27 ANSWER 3 OF 4 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8667893
 Chemical Name (CN): 7 β -<2-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino)acetamido>-3-<(E)-2-(3-pyridyl)thiovinylic acid
 Autonom Name (AUN): 7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylaminoo>-8-oxo-3-<2-(pyridin-3-ylsulfanyl)-vinyl>-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
 Molec. Formula (MF): C38 H30 N6 O5 S3
 Molecular Weight (MW): 746.87
 Lawson Number (LN): 31717, 24773, 5652
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 7342303
 Tautomer ID (TAUTID): 8157236
 Entry Date (DED): 2001/01/30
 Update Date (DUPD): 2001/01/30



Field Availability:

Code	Name	Occurrence
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BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1

FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

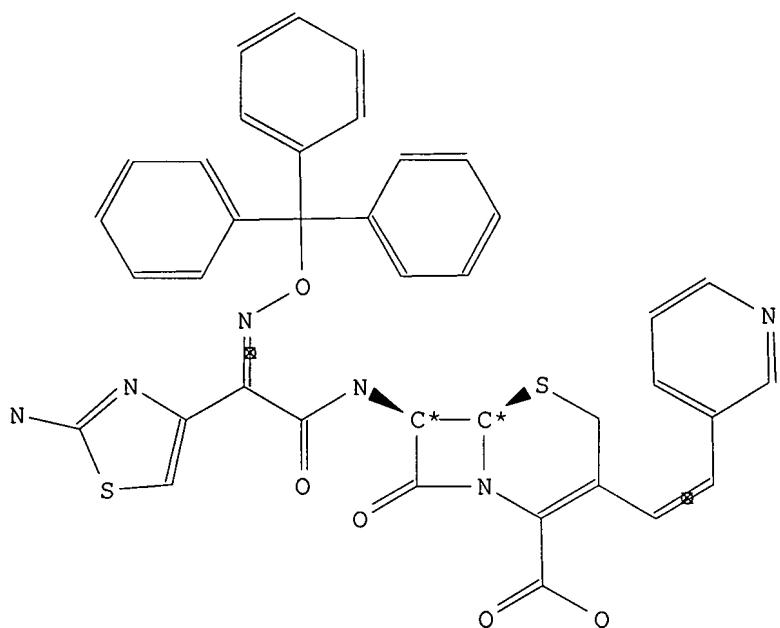
All References:

ALLREF

1. Yamamoto, Hirofumi; Terasawa, Takeshi; Nakamura, Ayako; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Tawara, Shuichi, Bioorg.Med.Chem., CODEN: BMECEP, 8(5), <2000>, 1159 - 1170; BABS-6248669

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Beilstein Records (BRN) :	8530567
Chemical Name (CN) :	7 β -<(Z)-2-(2-aminothiazol-4-yl)-2-trityloxyiminoacetamido>-3-<(Z)-2-(pyridin-3-yl)vinyl>-3-cephem-4-carboxylic acid
Autonom Name (AUN) :	7-<2-(2-amino-thiazol-4-yl)-2-trityloxyimino-acetylarnino>-8-oxo-3-(2-pyridin-3-yl-vinyl)-5-thia-1-aza-bicyclo<4.2.0>oct-2-ene-2-carboxylic acid
Molec. Formula (MF) :	C38 H30 N6 O5 S2
Molecular Weight (MW) :	714.81
Lawson Number (LN) :	32264, 31717, 5652
File Segment (FS) :	Stereo compound
Compound Type (CTYPE) :	heterocyclic
Constitution ID (CONSID) :	7231077
Tautomer ID (TAUTID) :	8032716
Entry Date (DED) :	2000/07/18
Update Date (DUPD) :	2000/07/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
NMR	Nuclear Magnetic Resonance	2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	1

All References:

ALLREF

- Yamamoto, Hirofumi; Terasawa, Takeshi; Ohki, Ayako; Shirai, Fumiyuki; Kawabata, Kohji; Sakane, Kazuo; Matsumoto, Satoru; Matsumoto, Yoshimi; Tawara, Shuichi, Bioorg.Med.Chem., CODEN: BMECEP, 8(1), <2000>, 43 - 54; BABS-6224640

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06/27/2006